

Table A-8

Example No.	Structural formula or chemical name
126	N-Et-Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NHCH ₂ OH
127	Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHCH ₂ OH
128	N-Me-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHCH ₂ OH
129	N-Et-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHCH ₂ OH
130	Phe(4-F)-N-Et-Val-N-Et-Tyr(3-tBu)-NHCH ₂ OH
131	N-Me-Phe(4-F)-N-Et-Val-N-Et-Tyr(3-tBu)-NHCH ₂ OH
132	Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NHCH ₂ OH
133	(2S)-2-[(2S)-2-amino-3-(4-fluorophenyl)-N-methylpropanolyamino]-N-((1S)-1-([3-(tert-butyl)-4-hydroxyphenyl]methyl)-2-morpholin-4-yl-2-oxoethyl)-3-methyl-N-methylbutanamide
134	(2S)-2-[(2S)-2-amino-3-(4-fluorophenyl)-N-methylpropanolyamino]-N-((1S)-1-([3-(tert-butyl)-4-hydroxyphenyl]methyl)-2-[4-(methylsulfonyl)piperazinyl]-2-oxoethyl)-3-methyl-N-methylbutanamide
135	ethyl 2-[4-((2S)-2-[(2S)-2-[(2S)-2-amino-3-(4-fluorophenyl)-N-methylpropanolyamino]-3,N-dimethylbutanoylamino]-3-[3-(tert-butyl)-4-hydroxyphenyl]propanoyl)piperazinyl]acetate
136	2-[4-((2S)-2-[(2S)-2-[(2S)-2-amino-3-(4-fluorophenyl)-N-methylpropanolyamino]-3,N-dimethylbutanoylamino]-3-[3-(tert-butyl)-4-hydroxyphenyl]propanoyl)piperazinyl]acetic acid
137	Phe(4-F)-N-Me-Val-N-Pr-Tyr(3-tBu)-NH
138	Phe(4-F)-N-Me-Abu-N-Me-Tyr(3-tBu)-NH
139	Phe(4-F)-N-Me-D-Abu-N-Me-Tyr(3-tBu)-NH
140	Phe(4-F)-N-Me-Nva-N-Me-Tyr(3-tBu)-NH

Page 43, please amend Table A-9 as follows:

Table A-9

Example No.	Structural formula or chemical name
141	Phe(4-F)-N-Me-D-Nva-N-Me-Tyr(3-tBu)-NH ₂
142	Phe(4-F)-N-Me-Ile-N-Me-Tyr(3-tBu)-NH ₂
143	Phe(4-F)-N-Me-D-Ile-N-Me-Tyr(3-tBu)-NH ₂
144	Phe(4-F)-N-Me-Leu-N-Me-Tyr(3-tBu)-NH ₂
145	Phe(4-F)-N-Me-D-Leu-N-Me-Tyr(3-tBu)-NH ₂
146	(2S)-2-[(2S)-2-amino-3-(4-fluorophenyl)-N-methylpropanoylamino]-N-[(1S)-2-[3-(tert-butyl)-4-hydroxyphenyl]-1-carbamoylethyl]-N-methylpent-4-enamide
147	(2R)-2-[(2S)-2-amino-3-(4-fluorophenyl)-N-methylpropanoylamino]-N-[(1S)-2-[3-(tert-butyl)-4-hydroxyphenyl]-1-carbamoylethyl]-N-methylpent-4-enamide
148	Phe(4-F)-N-Me-Leu(γ -Me)-N-Me-Tyr(3-tBu)-NH ₂
149	Phe(4-F)-N-Me-D-Leu(γ -Me)-N-Me-Tyr(3-tBu)-NH ₂
150	Phe(4-F)-N-Me-Ala(4-Cl)-N-Me-Tyr(3-tBu)-NH ₂
151	Phe(4-F)-N-Me-Chg-N-Me-Tyr(3-tBu)-NH ₂
152	Phe(4-F)-N-Me-D-Chg-N-Me-Tyr(3-tBu)-NH ₂
153	Phe(4-F)-N-Me-Cha-N-Me-Tyr(3-tBu)-NH ₂
154	Phe(4-F)-N-Me-D-Cha-N-Me-Tyr(3-tBu)-NH ₂
155	Phe(4-F)-N-Me-Phe-N-Me-Tyr(3-tBu)-NH ₂
156	Phe(4-F)-N-Me-D-Phe-N-Me-Tyr(3-tBu)-NH ₂
157	Phe(4-F)-N-Me-Phe(4-F)-N-Me-Tyr(3-tBu)-NH ₂
158	Phe(4-F)-N-Me-D-Phe(4-F)-N-Me-Tyr(3-tBu)-NH ₂
159	Phe(4-F)-N-Me-Phe(4-Cl)-N-Me-Tyr(3-tBu)-NH ₂
160	Phe(4-F)-N-Me-D-Phe(4-Cl)-N-Me-Tyr(3-tBu)-NH ₂
161	Phe(4-F)-N-Me-Tyr-N-Me-Tyr(3-tBu)-NH ₂
162	Phe(4-F)-N-Me-D-Tyr-N-Me-Tyr(3-tBu)-NH ₂
163	Phe(4-F)-N-Me-Ala(β -2-thienyl)-N-Me-Tyr(3-tBu)-NH ₂

Page 106, please amend paragraph 1 as follows:

To a solution of 2-(4-benzyloxy-3-t-butylphenyl)-1-cyanomethylethylcarbamic acid benzyl ester (1.38 g, 3.03 mmol) in DMSO (24 ml), potassium carbonate (1.59 g) and 30% hydrogen peroxide (4.0 ml) were added under cooling with ice. After stirring at room temperature for 2 hours, the reaction mixture was mixed with water; the thus formed precipitates were collected by filtration to give 2-(4-benzyloxy-3-t-butylphenyl)-1-carbamidemethylethylcarbamic acid benzyl ester.

Page 257, please amend Table E-7 as follows:

Table E-7

Intermediate T14

(2S)-3-[3-(tert-butyl)-4-hydroxyphenyl]-2-(methylamino)-1-[4-(methylsulfonyl)piperazinyl]propane-1-one

Run	Time (min)	Yield (%)	Mass (g)	Yield (%)	Yield (%)	Yield (%)	Yield (%)
1	10	100	1.0	1.0	1.0	1.0	1.0
2	20	100	1.0	1.0	1.0	1.0	1.0
3	30	100	1.0	1.0	1.0	1.0	1.0
4	40	100	1.0	1.0	1.0	1.0	1.0
5	50	100	1.0	1.0	1.0	1.0	1.0
6	60	100	1.0	1.0	1.0	1.0	1.0
7	70	100	1.0	1.0	1.0	1.0	1.0
8	80	100	1.0	1.0	1.0	1.0	1.0
9	90	100	1.0	1.0	1.0	1.0	1.0
10	100	100	1.0	1.0	1.0	1.0	1.0

IN THE CLAIMS